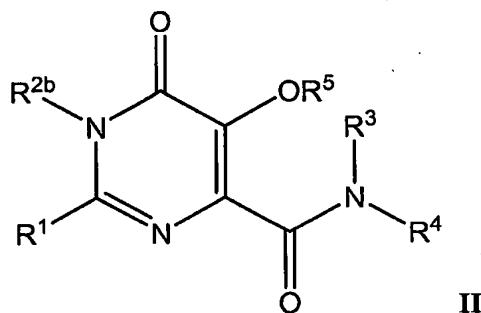
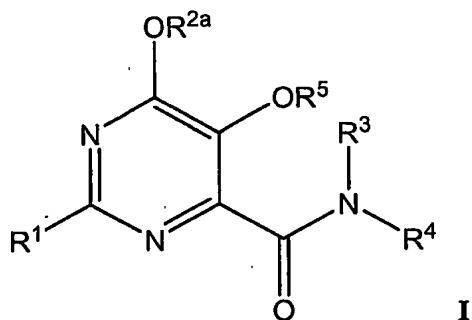


What Is Claimed:

1. A compound selected from Formulas I and II:



or a pharmaceutically acceptable salt thereof, and including all enol, tautomeric, and resonance isomers, enantiomers, diastereomers, and racemic mixtures thereof;

wherein:

- 10 R^1 is selected from H, F, Cl, Br, I, OH, OR, amino ($-NH_2$), ammonium ($-NH_3^+$), alkylamino ($-NHR$), dialkylamino ($-NR_2$), trialkylammonium ($-NR_3^+$), carboxyl ($-CO_2H$), sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, 4-dialkylaminopyridinium, alkylsulfone ($-SO_2R$), arylsulfone ($-SO_2Ar$), arylsulfoxide ($-SOAr$), arylthio ($-SAr$), sulfonamide ($-SO_2NR_2$), alkylsulfoxide ($-SOR$), formyl ($-CHO$), ester ($-CO_2R$), amido ($-C(=O)NR_2$), 5-7 membered ring lactam, 5-7 membered ring lactone, nitrile ($-CN$), azido ($-N_3$), nitro ($-NO_2$), C_1 - C_{18} alkyl, C_1 - C_{18} substituted alkyl, C_2 - C_{18} alkenyl, C_2 - C_{18} substituted alkenyl, C_2 - C_{18} alkynyl, C_2 - C_{18} substituted alkynyl, C_6 - C_{20} aryl, C_6 - C_{20} substituted aryl, C_2 - C_{20} heterocycle, and C_2 - C_{20}
- 15

substituted heterocycle, phosphonate, phosphate, polyethyleneoxy, a protecting group, $L-A^3$, and a prodrug moiety;

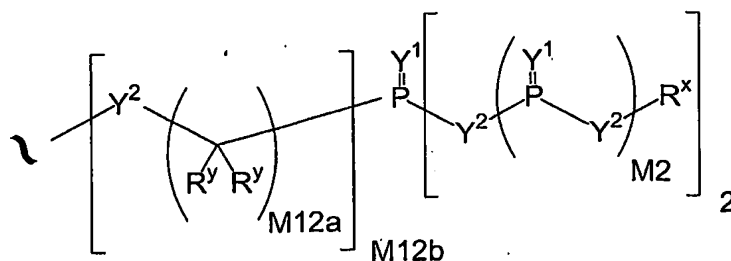
R^{2a} and R^5 are each independently selected from H, carboxyl ($-CO_2H$), sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, 4-dialkylaminopyridinium, alkylsulfone ($-SO_2R$), arylsulfone ($-SO_2Ar$), arylsulfoxide ($-SOAr$), arylthio ($-SAr$), sulfonamide ($-SO_2NR_2$), alkylsulfoxide ($-SOR$), formyl ($-CHO$), ester ($-CO_2R$), amido ($-C(=O)NR_2$), 5-7 membered ring lactam, 5-7 membered ring lactone, nitrile ($-CN$), azido ($-N_3$), nitro ($-NO_2$), C_1-C_{18} alkyl, C_1-C_{18} substituted alkyl, C_2-C_{18} alkenyl, C_2-C_{18} substituted alkenyl, C_2-C_{18} alkynyl, C_2-C_{18} substituted alkynyl, C_6-C_{20} aryl, C_6-C_{20} substituted aryl, C_2-C_{20} heterocycle, and C_2-C_{20} substituted heterocycle, phosphonate, phosphate, polyethyleneoxy, a protecting group, $L-A^3$, and a prodrug moiety;

R^{2b} , R^3 , and R^4 are each independently selected from H, OH, OR, amino ($-NH_2$), ammonium ($-NH_3^+$), alkylamino ($-NHR$), dialkylamino ($-NR_2$), trialkylammonium ($-NR_3^+$), carboxyl ($-CO_2H$), sulfate, sulfamate, sulfonate, 5-7 membered ring sultam, 4-dialkylaminopyridinium, alkylsulfone ($-SO_2R$), arylsulfone ($-SO_2Ar$), arylsulfoxide ($-SOAr$), arylthio ($-SAr$), sulfonamide ($-SO_2NR_2$), alkylsulfoxide ($-SOR$), formyl ($-CHO$), ester ($-CO_2R$), amido ($-C(=O)NR_2$), 5-7 membered ring lactam, 5-7 membered ring lactone, nitrile ($-CN$), azido ($-N_3$), nitro ($-NO_2$), C_1-C_{18} alkyl, C_1-C_{18} substituted alkyl, C_2-C_{18} alkenyl, C_2-C_{18} substituted alkenyl, C_2-C_{18} alkynyl, C_2-C_{18} substituted alkynyl, C_6-C_{20} aryl, C_6-C_{20} substituted aryl, C_2-C_{20} heterocycle, and C_2-C_{20} substituted heterocycle, phosphonate, phosphate, polyethyleneoxy, a protecting group, $L-A^3$, and a prodrug moiety;

R is independently selected from H, C_1-C_{18} alkyl, C_1-C_{18} substituted alkyl, C_2-C_{18} alkenyl, C_2-C_{18} substituted alkenyl, C_2-C_{18} alkynyl, C_2-C_{18} substituted alkynyl, C_6-C_{20} aryl, C_6-C_{20} substituted aryl, C_2-C_{20} heterocycle, C_2-C_{20} substituted heterocycle, phosphonate, phosphate, polyethyleneoxy, a protecting group, and a prodrug moiety;

L is selected from a bond, O, S, NR, N-OR, C_1-C_{12} alkylene, C_1-C_{12} substituted alkylene, C_2-C_{12} alkenylene, C_2-C_{12} substituted alkenylene, C_2-C_{12} alkynylene, C_2-C_{12} substituted alkynylene, C_6-C_{20} arylene, C_6-C_{20} substituted arylene, $C(=O)NH$, $C(=O)$, $S(=O)_2$, $C(=O)NH(CH_2)_n$, and $(CH_2CH_2O)_n$, where n may be 1, 2, 3, 4, 5, or 6;

A³ has the structure:



where:

Y¹ is independently O, S, NR^x, N(O)(R^x), N(OR^x), N(O)(OR^x), or N(N(R^x)₂);

Y² is independently a bond, O, NR^x, N(O)(R^x), N(OR^x), N(O)(OR^x), N(N(R^x)₂), -S(O)- (sulfoxide), -S(O)₂- (sulfone), -S- (sulfide), or -S-S- (disulfide);

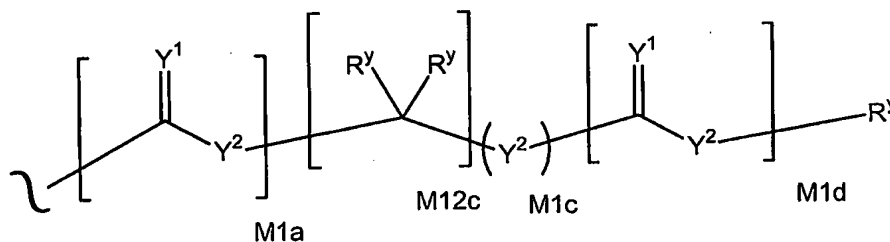
M2 is 0, 1 or 2;

M12a is 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12;

M12b is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11, or 12;

R^y is independently H, C₁-C₁₈ alkyl, C₁-C₁₈ substituted alkyl, C₆-C₂₀ aryl, C₆-C₂₀ substituted aryl, or a protecting group, or where taken together at a carbon atom, two vicinal R^y groups form a carbocycle or a heterocycle; and

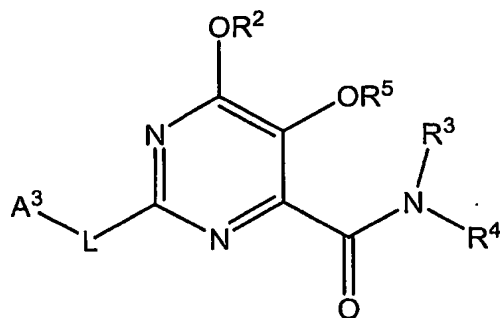
R^x is independently H, C₁-C₁₈ alkyl, C₁-C₁₈ substituted alkyl, C₆-C₂₀ aryl, C₆-C₂₀ substituted aryl, or a protecting group, or the formula:



where M1a, M1c, and M1d are independently 0 or 1, and M12c is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10, 11 or 12; and

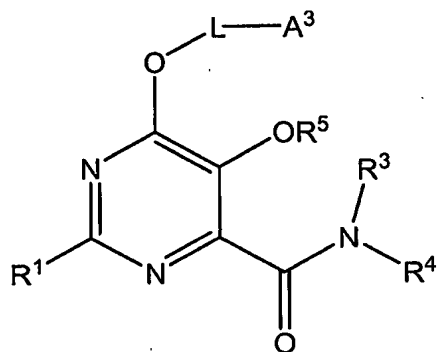
wherein at least one of R, R¹, R^{2a}, R^{2b}, R³, R⁴, and R⁵ comprises a phosphonate group.

2. A compound according to claim 1 having the structure:



or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

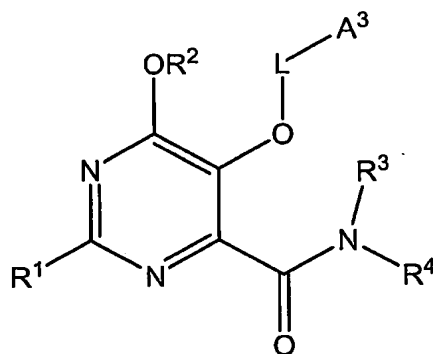
3. A compound according to claim 1 having the structure:



5

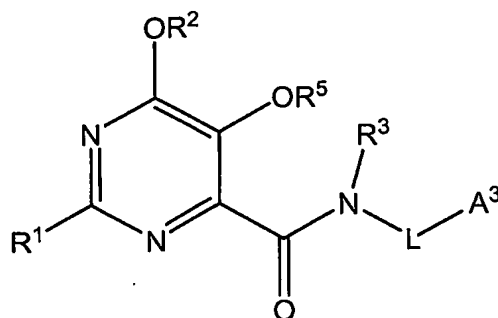
or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

4. A compound according to claim 1 having the structure:



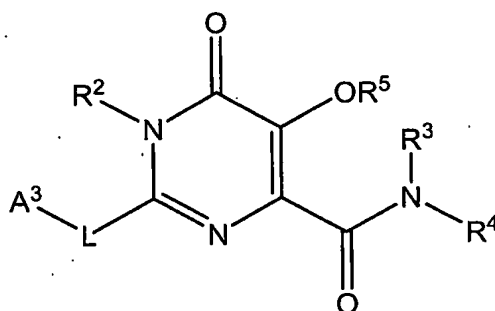
or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

5. A compound according to claim 1 having the structure:



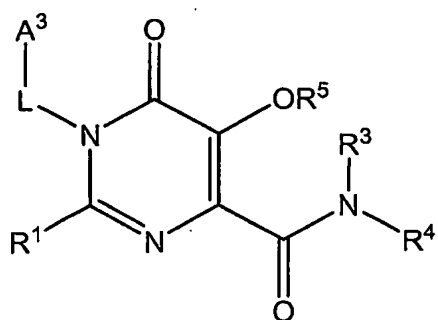
- 5 or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

6. A compound according to claim 1 having the structure:



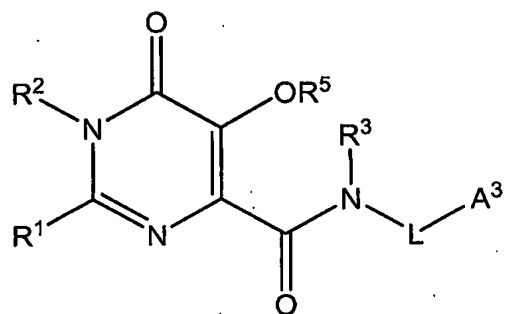
- 10 or a pharmaceutically acceptable salt thereof, and including all enol, tautomeric, and resonance isomers, enantiomers, diastereomers, and racemic mixtures thereof.

7. A compound according to claim 1 having the structure:



or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

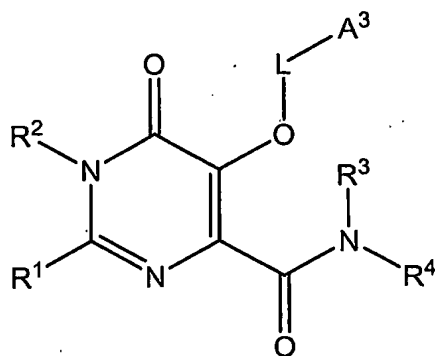
8. A compound according to claim 1 having the structure:



5

or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

9. A compound according to claim 1 having the structure:



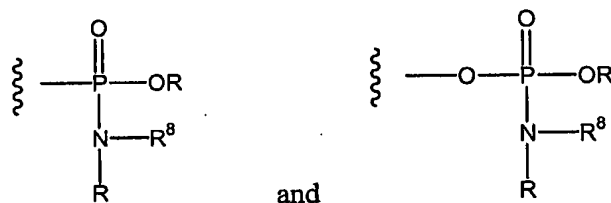
or a pharmaceutically acceptable salt thereof, and including enol and tautomeric resonance isomers.

10. The compound of claim 1 wherein substituted alkyl, substituted alkenyl, substituted alkynyl, substituted aryl, and substituted heterocycle are independently substituted with one or more substituents selected from F, Cl, Br, I, OH, amino ($-\text{NH}_2$), ammonium ($-\text{NH}_3^+$), alkylamino ($-\text{NHR}$), dialkylamino ($-\text{NR}_2$), trialkylammonium ($-\text{NR}_3^+$), C_1 - C_8 alkyl, C_1 - C_8 alkylhalide, carboxylate, thiol ($-\text{SH}$), sulfate ($-\text{OSO}_3\text{R}$), sulfamate, sulfonate ($-\text{SO}_3\text{R}$), 5-7 membered ring sultam, C_1 - C_8 alkylsulfonate, C_1 - C_8 alkylamino, 4-dialkylaminopyridinium, C_1 - C_8 alkylhydroxyl, C_1 - C_8 alkylthiol, alkylsulfone ($-\text{SO}_2\text{R}$), arylsulfone ($-\text{SO}_2\text{Ar}$), arylsulfoxide ($-\text{SOAr}$), arylthio ($-\text{SAr}$), sulfonamide ($-\text{SO}_2\text{NR}_2$), alkylsulfoxide ($-\text{SOR}$), ester ($-\text{C}(=\text{O})\text{OR}$), amido ($-\text{C}(=\text{O})\text{NR}_2$), 5-7 membered ring lactam, 5-7 membered ring lactone, nitrile ($-\text{CN}$), azido ($-\text{N}_3$), nitro ($-\text{NO}_2$), C_1 - C_8 alkoxy ($-\text{OR}$), C_1 - C_8 alkyl, C_1 - C_8 substituted alkyl, C_6 - C_{20} aryl, C_6 - C_{20} substituted aryl, C_2 - C_{20} heterocycle, and C_2 - C_{20} substituted heterocycle, phosphonate, phosphate, polyethyleneoxy, and a prodrug moiety.

11. A compound of claim 1 wherein R^{2a} and R^{2b} are selected from H, $\text{C}(=\text{O})\text{OR}$, $\text{C}(=\text{O})\text{NR}_2$, $\text{C}(=\text{O})\text{R}$, SO_2NR_2 (sulfamate), and a prodrug moiety.

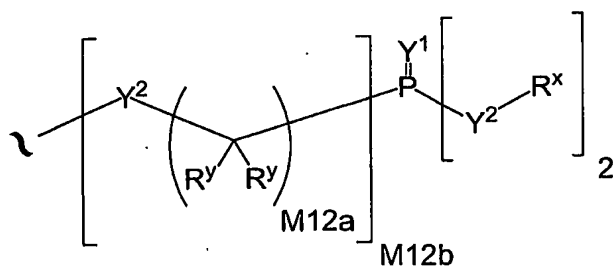
12. The compound of claim 1 where R^3 or R^4 is 4-fluorobenzyl.

13. The compound of claim 1 wherein at least one of R^1 , R^{2a} , R^{2b} , R^3 , R^4 , and R^5 comprise a prodrug moiety selected from the structures:

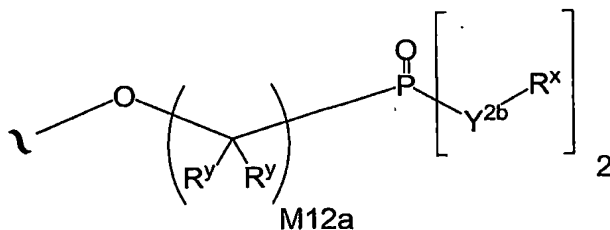


wherein R^8 is comprised of an ester, an amide, or a carbamate.

14. The compound of claim 1 wherein phosphonate group has the structure:



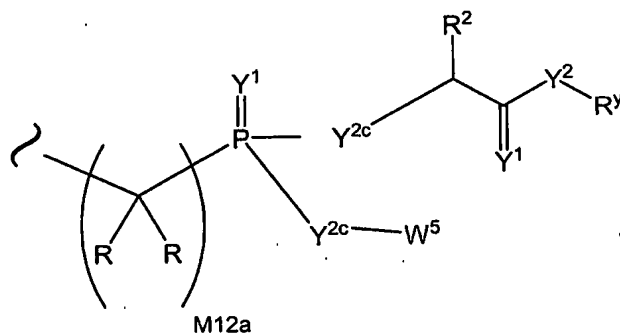
15. The compound of claim 14 wherein phosphonate group has the structure:



where Y^{2b} is O or $N(R^x)$.

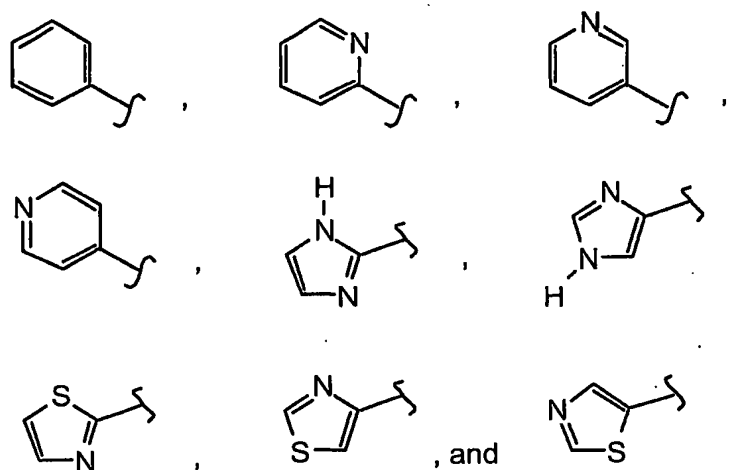
5

16. The compound of claim 14 wherein phosphonate group has the structure:

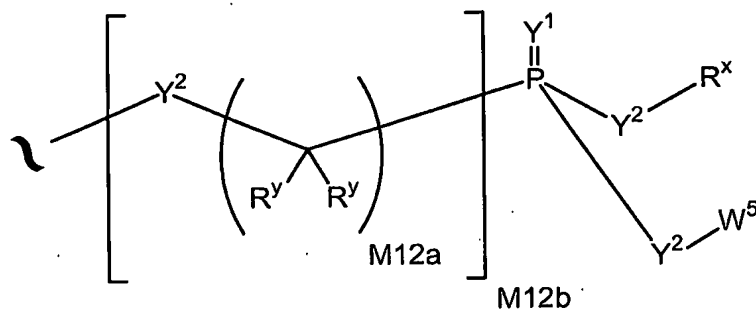


where W^5 is a carbocycle, and Y^{2c} is O, $N(R^y)$ or S.

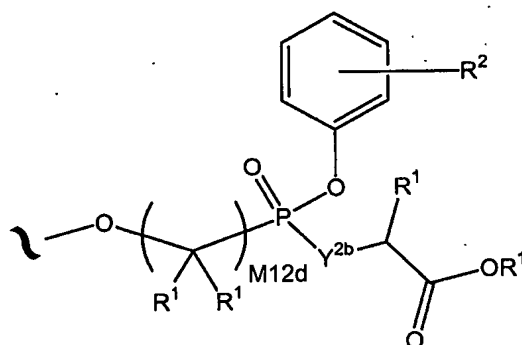
17. The compound of claim 16 wherein W^5 is selected from the structures:



18. The compound of claim 14 wherein phosphonate group has the structure:

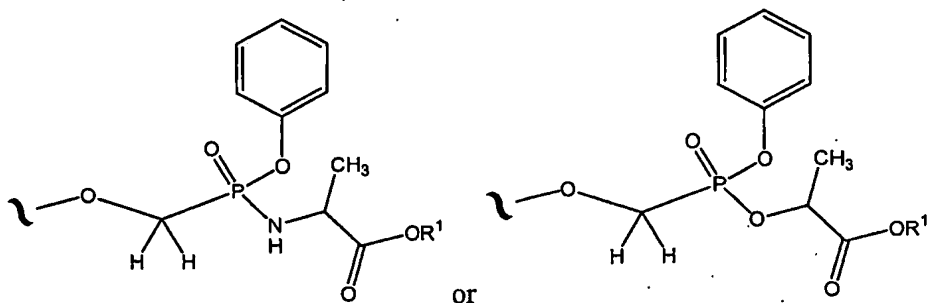


19. The compound of claim 18 wherein phosphonate group has the structure:

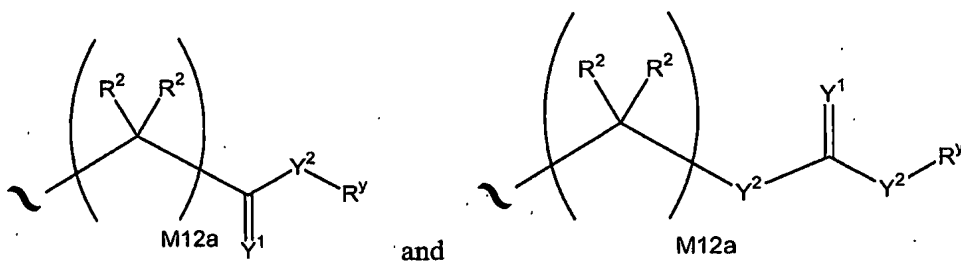


wherein Y^{2b} is O or N(R^x); M12d is 1, 2, 3, 4, 5, 6, 7 or 8; R¹ is H or C₁–C₆ alkyl; and the phenyl carbocycle is substituted with 0 to 3 R² groups where R² is C₁–C₆ alkyl or substituted alkyl.

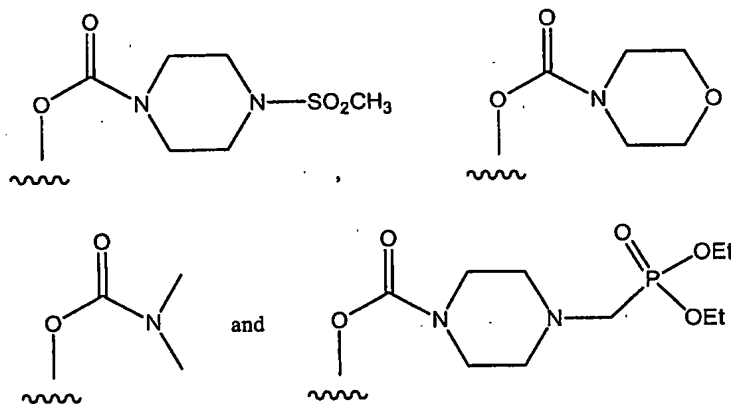
20. The compound of claim 19 wherein phosphonate group has the structure:



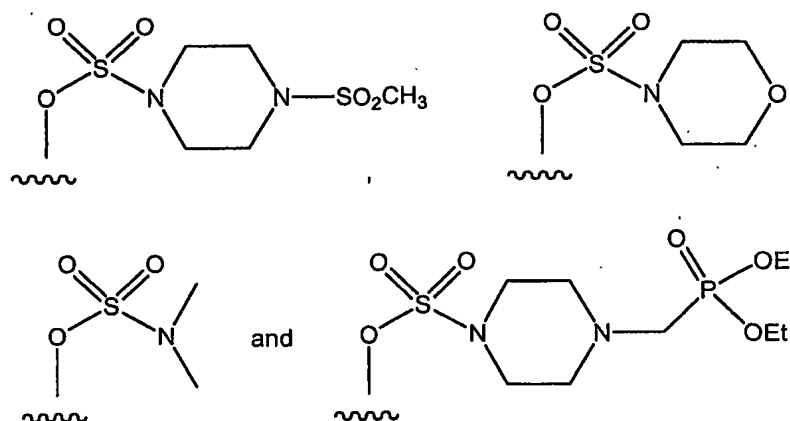
21. The compound of claim 14 wherein R^x is selected from the structures:



- 5 22. The compound of claim 21 wherein R^1 is selected from the structures:

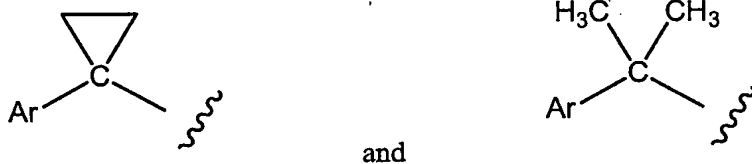


23. The compound of claim 21 wherein R^1 is selected from the structures:



24. A compound of claim 1 wherein R^1 comprises a phosphonate prodrug moiety.

25. The compound of claim 1 wherein R^3 or R^4 is selected from the structures:

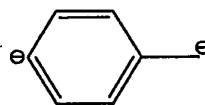


26. The compound of claim 6 wherein L is arylene.

27. The compound of claim 6 wherein L is C_1 - C_{12} alkylene.

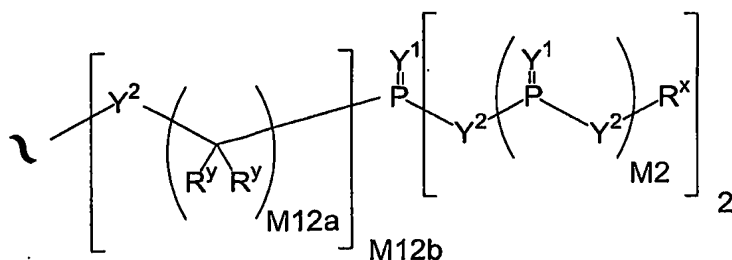
10

28. The compound of claim 26 wherein L is

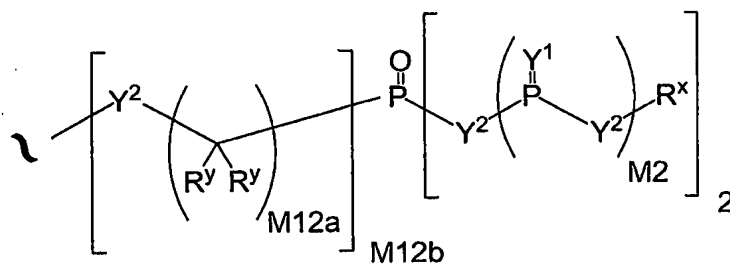


29. The compound of claim 27 wherein L is C_2 alkylene.

30. The compound of claim 6 wherein A^3 has the structure:

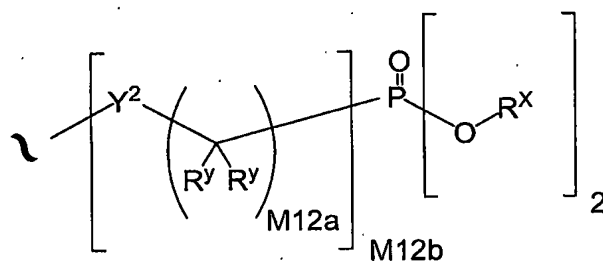


31. The compound of claim 6 wherein A³ has the structure:

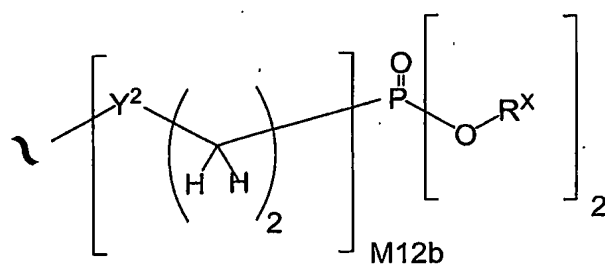


5

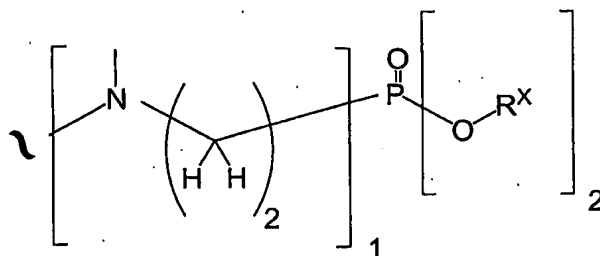
32. The compound of claim 6 wherein A³ has the structure:



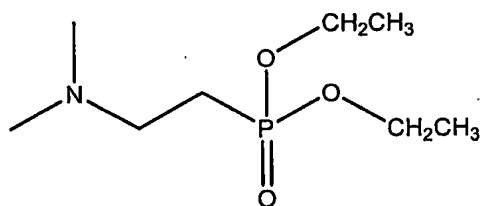
33. The compound of claim 6 wherein A³ has the structure:



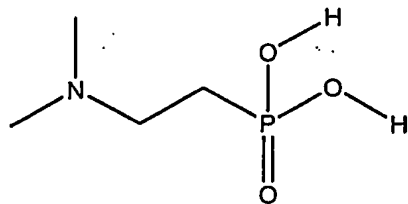
34. The compound of claim 6 wherein A³ has the structure:



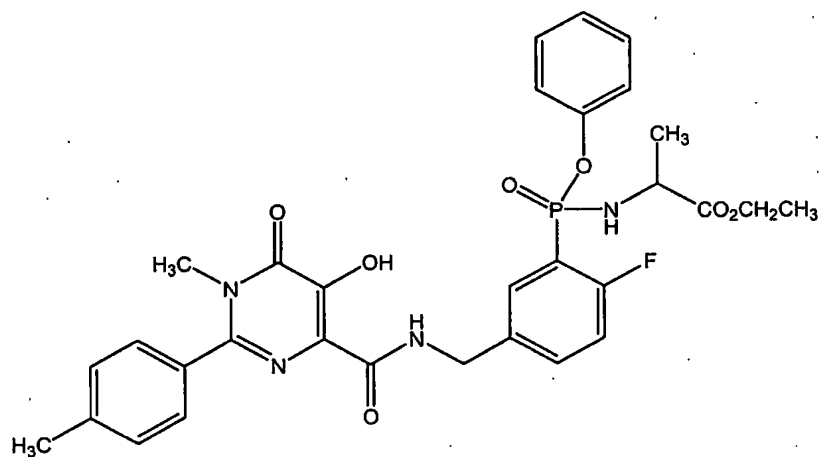
5 35. The compound of claim 30 wherein A³ has the structure,



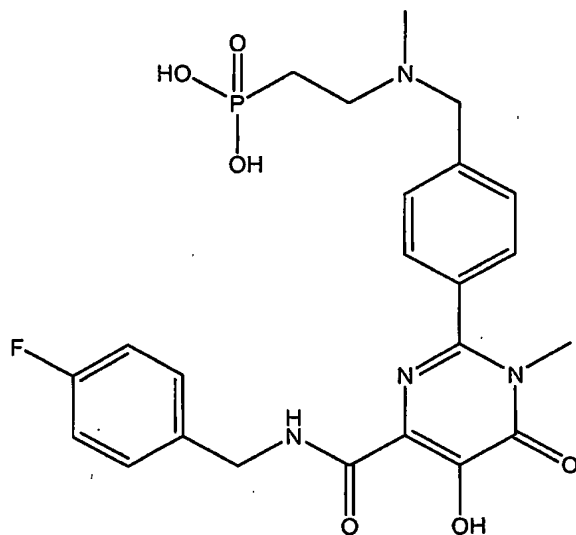
36. The compound of claim 30 wherein A³ has the structure,



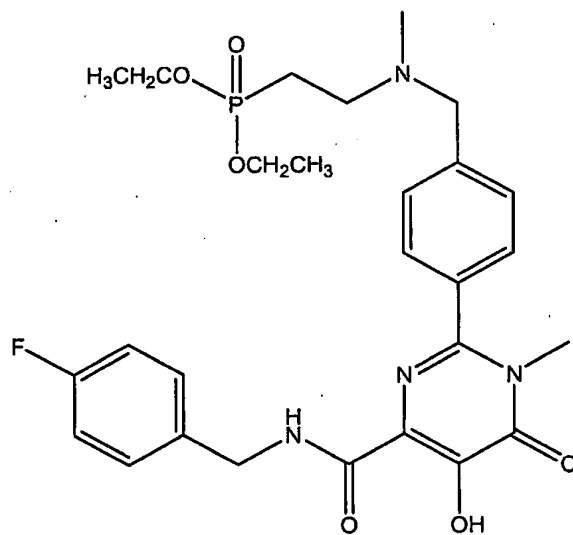
37. A compound of claim 1 having the structure:



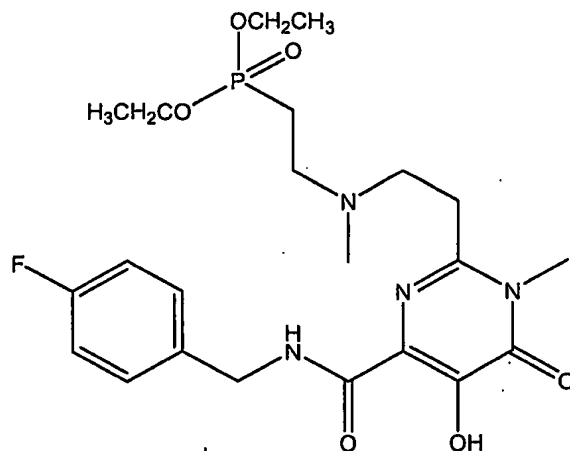
5 38. A compound of claim 1 having the structure:



39. A compound of claim 1 having the structure:



5 40. A compound of claim 1 having the structure:



41. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

42. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of an AIDS treatment agent selected from:

- (1) an AIDS antiviral agent,
- (2) an anti-infective agent, and
- (3) an immunomodulator.

43. The composition of claim 42 wherein the antiviral agent is an HIV protease inhibitor.

44. A process for making a pharmaceutical composition comprising combining a compound of claim 1 and a pharmaceutically acceptable carrier.

45. A method of inhibiting HIV integrase, comprising the administration to a mammal in need of such treatment of a therapeutically effective amount of a compound of claim 1.

46. A method of treating infection by HIV, or of treating AIDS or ARC, comprising administration to a mammal in need of such treatment of a therapeutically effective amount of a compound of claim 1.